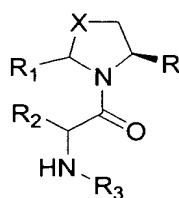


What is Claimed is:

1. A compound of formula (I),



(I),

or pharmaceutically acceptable salt or prodrug thereof, wherein

X is a member selected from the group consisting of CH₂, CHF and CF₂;

R is selected from the group consisting of alkylcarbonyl, arylcarbonyl, cyano, heterocyclecarbonyl, R₄R₅NC(O)-, B(OR₆)₂, (1,2,3)-dioxoborolane and 4,4,5,5-tetramethyl-(1,2,3)-dioxoborolane;

R₁ is selected from the group consisting of alkoxyalkyl, alkyl, alkylcarbonyl, alkenyl, alkynyl, allenyl, arylalkyl, cycloalkyl, cycloalkylalkyl, cyano, haloalkyl, haloalkenyl, heterocyclealkyl, and hydroxyalkyl;

R₂ and R₃ are independently selected from the group consisting of hydrogen, alkoxyalkyl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl; or

R₂ and R₃ taken together with the atoms to which they are attached form a mono or bicyclic heterocycle selected from the group consisting of 2-indoliny, 2-indolyl, 3-isoquinoline, 2-piperazine, 2-piperidine, 2-pyrrolidine, 2-pyrrole, 2-pyridine, 2-quinoliny, 2-tetrahydroquinoliny, and 3-tetrahydroisoquinoliny, wherein said heterocycle may be substituted with 0, 1, 2 or 3 substituents independently selected from alkenyl, alkoxy, alkoxyalkyl, alkoxy carbonyl, alkoxy carbonylalkyl, alkyl, alkylcarbonyl, alkylcarbonylalkyl, alkylcarbonyloxy, alkylsulfonyl, alkylthio, alkynyl, aryl, arylalkoxy, arylalkyl, arylcarbonyl, aryloxy, carboxy, carboxyalkyl, cyano, cyanoalkyl, formyl, halogen, haloalkyl, hydroxy, hydroxyalkyl, mercapto, nitro, phenyl, R_AR_BN-, R_CR_DNC(O)-, and R_CR_DNS(O)₂-;

R₄, R₅ and R₆ are each independently selected from the group consisting of hydrogen, alkyl, and arylalkyl;

R_A and R_B are each independently selected from the group consisting of alkyl, alkylcarbonyl, alkoxy carbonyl, alkylsulfonyl; or R_A and R_B taken together with the nitrogen to which they are attached form a ring selected from the group consisting of piperidine, piperazine and morpholine; and

R_C and R_D are each independently selected from the group consisting of hydrogen and alkyl.

2. The compound according to claim 1, wherein
R is cyano.
3. The compound according to claim 1, wherein
R is cyano; and
R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl.
4. The compound according to claim 1, wherein
R is cyano;
R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and
R₂ is a member selected from the group consisting of alkoxyalkyl, alkyl, cycloalkyl, cycloalkylalkyl, arylalkyl, and heterocyclealkyl.
5. The compound according to claim 1, wherein
R is cyano;
R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and
R₂ is a member selected from the group consisting of hydrogen, alkyl, cycloalkyl, and heterocycle; and
R₃ is hydrogen.
6. The compounds according to claim 5, that is a member selected from the group consisting of
(2*S*,5*R*)-5-ethynyl-1-*L*-leucylpyrrolidine-2-carbonitrile;
(2*S*,5*R*)-1-((2*S*)-2-amino-2-cyclopentylethanoyl)-5-ethynylpyrrolidine-2-carbonitrile;
(2*S*,5*R*)-1-((2*S*)-2-amino-2-cyclopentylethanoyl)-5-vinylpyrrolidine-2-carbonitrile;
(2*S*,5*R*)-1-((2*S*)-2-amino-2-cyclohexylethanoyl)-5-ethynylpyrrolidine-2-carbonitrile;
(2*S*,5*S*)-5-ethyl-1-*L*-leucylpyrrolidine-2-carbonitrile;
(2*S*,5*S*)-1-((2*S*)-2-amino-2-cyclohexylethanoyl)-5-ethylpyrrolidine-2-carbonitrile;
(2*S*,5*S*)-1-*L*-leucyl-5-methylpyrrolidine-2-carbonitrile;
(2*S*,5*R*)-5-ethynyl-1-*L*-leucylpyrrolidine-2-carbonitrile;
(2*S*,5*R*)-1-((2*S*)-2-amino-2-cyclopentylethanoyl)-5-ethynylpyrrolidine-2-carbonitrile;
(2*S*,5*R*)-1-((2*R*)-2-amino-2-cyclohexylethanoyl)-5-ethynylpyrrolidine-2-carbonitrile;
(2*S*,5*S*)-1-((2*S*)-2-amino-2-cyclopentylethanoyl)-5-methylpyrrolidine-2-carbonitrile;
(2*S*,5*R*)-1-((2*S*)-2-amino-2-cyclopentylethanoyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile;
(2*S*,5*S*)-4,4-difluoro-5-methyl-1-*L*-valylpyrrolidine-2-carbonitrile;
(2*S*,5*S*)-4,4-difluoro-1-*L*-leucyl-5-methylpyrrolidine-2-carbonitrile;
(2*S*,5*R*)-1-((2*S*)-2-amino-2-cyclohexylethanoyl)-5-vinylpyrrolidine-2-carbonitrile;

- (2S,5R)-1-((2R)-2-amino-2-cyclopentylethanoyl)-5-vinylpyrrolidine-2-carbonitrile;
 (2S,5R)-5-ethynyl-1-(3-methyl-L-valyl)pyrrolidine-2-carbonitrile;
 (2S,5R)-5-ethynyl-1-(3-pyridin-4-yl-L-alanyl)pyrrolidine-2-carbonitrile;
 (2S,5R)-1-L-leucyl-5-prop-1-ynylpyrrolidine-2-carbonitrile;
 5 (2S,5R)-1-(3-methyl-L-valyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile;
 (2S,5S)-1-L-isoleucyl-5-methylpyrrolidine-2-carbonitrile;
 (2S,5S)-1-(3-cyclopropyl-L-alanyl)-5-methylpyrrolidine-2-carbonitrile;
 (2S,5S)-5-methyl-1-L-valylpyrrolidine-2-carbonitrile;
 (2S,5S)-5-methyl-1-(4-methyl-L-leucyl)pyrrolidine-2-carbonitrile;
 10 (2S,5S)-1-(3-cyclohexyl-L-alanyl)-5-methylpyrrolidine-2-carbonitrile.
7. The compound according to claim 1, wherein
 R is cyano;
 R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and
 15 R₂ is hydrogen; and
 R₃ is cycloalkyl, wherein cycloalkyl is a member selected from the group consisting
 of cyclopropyl, cyclobutyl, cyclopentyl, cycloheptyl, and cyclooctyl.
8. The compound according to claim 7, that is a member selected from the group
 20 consisting of
 (2S,5R)-1-{N-((1R,2R,4S)-bicyclo(2.2.1)hept-2-yl)glycyl}-5-ethynylpyrrolidine-2-
 carbonitrile;
 (2S,5R)-1-{N-((1R,4S)-bicyclo(2.2.1)hept-2-yl)glycyl}-5-ethynylpyrrolidine-2-
 carbonitrile;
 25 (2S,5R)-1-(N-1-adamantylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;
 (2S,5R)-1-(N-cyclohexylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;
 (2S,5R)-5-ethynyl-1-{N-(1-(methoxymethyl)cyclopentyl)glycyl}pyrrolidine-2-
 carbonitrile;
 (2S,5R)-5-ethynyl-1-{N-((2S)-2-hydroxycyclopentyl)glycyl}pyrrolidine-2-
 30 carbonitrile;
 (2S,5R)-1-(N-cyclopentylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;
 (2S,5R)-5-ethynyl-1-{N-(1-(hydroxymethyl)cyclopentyl)glycyl}pyrrolidine-2-
 carbonitrile;
 (2S,5R)-1-{N-(1-(hydroxymethyl)cyclopentyl)glycyl}-5-prop-1-ynylpyrrolidine-2-
 35 carbonitrile;
 (2S,5R)-1-(N-cyclopentylglycyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile;
 (2S,5S)-1-(N-cyclopentylglycyl)-5-methylpyrrolidine-2-carbonitrile;
 (2S,5S)-1-{N-(1-(hydroxymethyl)cyclopentyl)glycyl}-5-methylpyrrolidine-2-

carbonitrile;

(2S,5S)-1-{N-((2R,5S)-hexahydro-2,5-methanopentalen-3a(1H)-yl)glycyl}-5-methylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(1-(1-hydroxy-1-methylethyl)cyclopentyl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-((2R,5S)-hexahydro-2,5-methanopentalen-3a(1H)-yl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-cyclopentylglycyl-(N-methyl 1-aminocyclopentanecarboxy))-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-cyclopropylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-((5R,7S)-3-hydroxy-1-adamantyl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-cycloheptylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-cyclobutylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-cyclobutylglycyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-((2S)-2-hydroxycyclopentyl)glycyl}-5-prop-1-ynylpyrrolidine-2-carbonitrile;

(2S,5S)-5-methyl-1-{N-((1S,2S,3S,5R)-2,6,6-trimethylbicyclo(3.1.1)hept-3-yl)glycyl}pyrrolidine-2-carbonitrile; and

(2S,5S)-1-{N-((5R,7S)-3-hydroxy-1-adamantyl)glycyl}-5-methylpyrrolidine-2-carbonitrile.

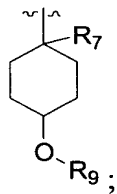
9. The compound according to claim 1, wherein

R is cyano,

R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and

R₂ is hydrogen; and

R₃ is



R₇ is a member selected from the group consisting of hydrogen and alkyl; and

R₉ is a member selected from the group consisting of hydrogen, aryl, and heterocycle.

10. The compound according to claim 9, that is a member selected from the group consisting of

(2S,5R)-5-ethynyl-1-(N-(4-trans-hydroxycyclohexyl)glycyl)pyrrolidine-2-

carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4- trans- {(4'-fluoro-5-(trifluoromethyl)-1,1'-biphenyl-2-yl)oxy} cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4- trans (4-

5 (trifluoromethoxy)phenoxy)cyclohexyl} glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4-hydroxy-1-methylcyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(1-methyl-4- trans (pyridin-3-yloxy)cyclohexyl)glycyl} pyrrolidine-2-carbonitrile;

10 (2S,5R)-1-(N-{4- trans ((5-chloropyridin-3-yl)oxy)cyclohexyl} glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (4-cyanophenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4- trans {(5-(trifluoromethyl)pyridin-2-yl)oxy} cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

15 (2S,5R)-5-ethynyl-1-(N-{4- trans (3-pyridin-4-yl-4-(trifluoromethyl)phenoxy)cyclohexyl} glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4- trans (pyridin-2-yloxy)cyclohexyl)glycyl} pyrrolidine-2-carbonitrile;

20 (2S,5R)-5-ethynyl-1-{N-(1-methyl-4- trans (5-cyano-pyridin-2-yloxy)cyclohexyl)glycyl} pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4- trans (pyrimidin-2-yloxy)cyclohexyl)glycyl} pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4- trans (5-cyano-pyridin-2-yloxy)cyclohexyl)glycyl} pyrrolidine-2-carbonitrile;

25 (2S,5R)-5-ethynyl-1-(N-{4- trans (4-(trifluoromethyl)phenoxy)cyclohexyl} glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4-((5-fluoropyridin-3-yl)oxy)-1-methylcyclohexyl} glycyl)pyrrolidine-2-carbonitrile;

30 (2S,5R)-5-ethynyl-1-(N-(4- trans (4-carboxy-phenoxy)cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4- trans (2-(2-oxopyrrolidin-1-yl)-4-(trifluoromethyl)phenoxy)cyclohexyl} glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (4-cyano-2-methoxyphenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

35 (2S,5R)-1-(N-{4- trans ((5-chloropyridin-2-yl)oxy)cyclohexyl} glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(1-methyl-4- trans (pyridin-2-

yl oxy)cyclohexyl}glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4- trans ((5-fluoropyridin-3-yl)oxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4- trans ((5-bromopyridin-2-yl)oxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4- trans (pyridin-3-yl oxy)cyclohexyl}glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-5-prop-1-ynyl-1-(N-{4-(4-(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (4-cyano-2-fluorophenoxy)cyclohexyl}glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4- trans (3-fluorophenoxy)-1-methylcyclohexyl}glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (3-cyanophenoxy)cyclohexyl}glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-methyl-4-{(5-(trifluoromethyl)pyridin-2-yl)oxy}cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4- trans ((5-chloropyridin-2-yl)oxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4- trans {(4'-fluoro-2-(trifluoromethyl)-1,1'-biphenyl-4-yl)oxy}cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4- trans {(4'-fluoro-6-(trifluoromethyl)-1,1'-biphenyl-3-yl)oxy}cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4-(3-cyano-4- trans (trifluoromethyl)phenoxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (3-bromophenoxy)cyclohexyl}glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (4-cyano-3-fluorophenoxy)cyclohexyl}glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4-(2-cyano-4- trans (trifluoromethyl)phenoxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (3-cyanophenoxy)-1-methylcyclohexyl}glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (4-chlorophenoxy)cyclohexyl}glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4- trans {(6-methyl-4-(trifluoromethyl)pyridin-2-yl)oxy}cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4- trans (2-cyano-3-(trifluoromethyl)phenoxy)cyclohexyl}glycyl)-5-

ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4- trans (4-pyridin-4-yl-3-(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4- trans (3-cyano-5-(trifluoromethyl)phenoxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4-(4-fluorophenoxy)-1-methylcyclohexyl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4-(3-fluorophenoxy)-1-methylcyclohexyl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-methyl-4-{(5-(trifluoromethyl)pyridin-2-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4- trans (3-(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4- trans ((3-bromopyridin-2-yl)oxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4- trans {(4-(trifluoromethyl)pyridin-2-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4- trans ((5-chloropyridin-2-yl)oxy)-1-methylcyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (3-cyanophenoxy)-1-methylcyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4- trans (2-carboxy-4-(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (3-chlorophenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-methyl-4- trans {(5-(trifluoromethyl)pyridin-2-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(4- trans (4-bromophenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-(4- trans hydroxycyclohexyl)glycyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile;

(2S,5S)-1-(N-(4- trans hydroxycyclohexyl)glycyl)-5-methylpyrrolidine-2-carbonitrile.

11. The compound according to claim 1, wherein

R is cyano;

R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl;

R₂ is hydrogen;

R₃ is alkyl; wherein the alkyl group of R₃ is optionally substituted with a member of

the group consisting of alkoxy, alkoxycarbonyl, alkoxycarbonylNR_a, alkylNR_a, carboxy, and hydroxy; and

R_a is a member selected from the group consisting of hydrogen and alkyl.

- 5 12. The compound according to claim 11, that is selected from the group consisting of
 (2S,5R)-5-ethynyl-1-(N-(1,1,3,3-tetramethylbutyl)glycyl)pyrrolidine-2-carbonitrile;
 (2S,5R)-1-(N-(tert-butyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;
 (2S,5R)-1-(N-(1,1-dimethylpropyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;
 (2S,5R)-5-ethynyl-1-{N-(3-(methylamino)propyl)glycyl}pyrrolidine-2-carbonitrile;
10 (2S,5R)-1-(N-(4-*tert*-butoxycarbonylbutyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;
 (2S,5R)-5-ethynyl-1-(N-(3-hydroxy-2,2-dimethylpropyl)glycyl)pyrrolidine-2-
carbonitrile;
 (2S,5R)-5-ethynyl-1-{N-(3-(N-*tert*-butoxycarbonyl-N-
methylamino)propyl)glycyl}pyrrolidine-2-carbonitrile;
15 (2S,5R)-5-ethynyl-1-(N-(4-carboxybutyl)glycyl)pyrrolidine-2-carbonitrile;
 (2S,5R)-5-ethynyl-1-(N-(3-isopropoxypropyl)glycyl)pyrrolidine-2-carbonitrile;
 (2S,5S)-1-(N-isopropylglycyl)-5-methylpyrrolidine-2-carbonitrile;
 (2S,5S)-1-(N-(tert-butyl)glycyl)-5-methylpyrrolidine-2-carbonitrile.

- 20 13. The compound according to claim 1, wherein
 R is cyano;
 R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and
 R₂ is hydrogen; and
 R₃ is a member selected from the group consisting of aryl and heterocycle; wherein
25 said heterocycle is a member selected from the group consisting of azetidiny, azepanyl,
 aziridiny, diazepiny, 1,3-dioxolany, dioxany, dithianyl, furyl, imidazolyl, imidazolinyl,
 imidazolidinyl, isothiazolyl, isothiazolinyl, isothiazolidinyl, isoxazolyl, isoxazolinyl,
 isoxazolidinyl, morpholinyl, oxadiazolyl, oxadiazolinyl, oxadiazolidinyl, oxazolyl,
 oxazolinyl, oxazolidinyl, piperaziny, pyranyl, pyraziny, pyrazolyl, pyrazolinyl,
30 pyrazolidinyl, pyridiny, pyrimidinyl, pyridazinyl, pyrrolyl, pyrrolinyl, pyrrolidinyl,
 tetrahydrofurany, tetrahydrothienyl, tetraziny, tetrazolyl, thiadiazolyl, thiadiazolinyl,
 thiadiazolidinyl, thiazolyl, thiazolinyl, thiazolidinyl, thienyl, thiomorpholinyl, 1,1-
 dioxidothiomorpholinyl (thiomorpholine sulfone), thiopyranyl, triaziny, triazolyl, and
 trithianyl.

- 35 14. The compound according to claim 13, that is a member selected from the group
 consisting of
 (2S,5R)-5-ethynyl-1-(N-tetrahydro-2H-pyran-4-ylglycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-tetrahydrofuran-3-ylglycyl)pyrrolidine-2-carbonitrile; and
(2*S*,5*S*)-1-(*N*-2,3-dihydro-1*H*-inden-1-ylglycyl)-5-methylpyrrolidine-2-carbonitrile.

15. The compound according to claim 1, wherein

R is cyano;

R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and

R₂ is hydrogen; and

R₃ is a member selected from the group consisting of arylalkyl and heterocyclealkyl.

16. The compound according to claim 15, that is a member selected from the group of
(2*S*,5*R*)-5-ethynyl-1-{*N*-(2-(4-fluorophenyl)-1,1-dimethylethyl)glycyl}pyrrolidine-2-
carbonitrile;

(2*S*,5*S*)-1-{*N*-(2-(3,4-dimethoxyphenyl)ethyl)glycyl}-5-methylpyrrolidine-2-
carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(tetrahydrofuran-2-ylmethyl)glycyl)pyrrolidine-2-
carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(pyridin-2-ylmethyl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(2-pyridin-4-ylethyl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-{*N*-((1-*tert*-butoxycarbonylpiperidin-4-
yl)methyl)glycyl}pyrrolidine-2-carbonitrile;
(2*S*,5*R*)-5-ethynyl-1-(*N*-(4-iodobenzyl)glycyl)pyrrolidine-2-carbonitrile.

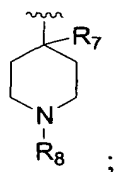
17. The compound according to claim 1, wherein

R is cyano,

R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl;

R₂ is hydrogen;

R₃ is



R₇ is a member selected from the group consisting of hydrogen, alkyl and
alkoxyalkyl; and

R₈ is a member selected from the group consisting of hydrogen, alkylcarbonyl, aryl
and heterocycle.

18. The compound according to claim 17, that is a member selected from the group
consisting of

(2*S*,5*R*)-5-ethynyl-1-(*N*-(4-methyl-1-pyridin-2-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(4-methyl-1-(3-cyano-pyridin-2-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

5 (2*S*,5*R*)-5-ethynyl-1-(*N*-(1-(3-cyano-pyridin-3-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-{*N*-(4-methyl-1-(4-carboxy-pyridin-2-yl)piperidin-4-yl)glycyl}pyrrolidine-2-carbonitrile;

10 (2*S*,5*R*)-5-ethynyl-1-(*N*-{4-methyl-1-(5-(trifluoromethyl)pyridin-2-yl)piperidin-4-yl}glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-1-{*N*-(1-(5-chloropyridin-2-yl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(1-pyridin-2-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

15 (2*S*,5*R*)-5-ethynyl-1-(*N*-{4-methyl-1-(4-(trifluoromethyl)pyrimidin-2-yl)piperidin-4-yl}glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(4-methyl-1-(5-carboxy-pyridin-2-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

20 (2*S*,5*R*)-5-ethynyl-1-(*N*-(4-methyl-1-(5-cyano-pyridin-3-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(1-tert-butoxycarbonyl-piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(1-5-cyano-pyridin-2-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

25 (2*S*,5*R*)-1-{*N*-(1-(3-cyanophenyl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-{4-methyl-1-(4-(trifluoromethyl)pyridin-2-yl)piperidin-4-yl}glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-piperidin-4-ylglycyl)pyrrolidine-2-carbonitrile.

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19. The compound according to claim 1, wherein

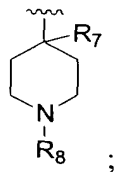
R is cyano;

R₁ is selected from the group consisting of alkyl, alkenyl, and alkynyl; and

R₂ is hydrogen; and

35

R₃ is



R₇ is a member selected from the group consisting of hydrogen, alkyl and alkoxyalkyl; and

R₈ is a member selected from the group consisting of arylcarbonyl and heterocyclecarbonyl.

20. The compound according to claim 19, that is a member selected from the group consisting of

(2*S*,5*R*)-5-ethynyl-1-*N*-(4-methyl-1-(4-methoxycarbonylbenzoyl)piperidin-4-yl)glycyl}pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-1-*N*-(1-(4-chlorobenzoyl)piperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(1-isonicotinoyl-4-methylpiperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-1-*N*-(1-(4-chlorobenzoyl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2*S*,5*R*)-1-*N*-(1-(4-cyanobenzoyl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2*S*,5*R*)-1-*N*-(1-(4-bromobenzoyl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile.

21. The compound according to claim 1, wherein

R is cyano;

R₁ is a member selected from the group consisting of alkyl, alkenyl, alkynyl, allenyl and cycloalkyl; and

R₂ is hydrogen; and

R₃ is a member selected from the group consisting of aryl-O-alkyl-, aryl-NH-alkyl-, heterocycle-O-alkyl- and heterocycle-NH-alkyl-.

22. The compound according to claim 21, that is a member selected from the group consisting of

(2*S*,5*R*)-1-*N*-(1,1-dimethyl-2-(5-cyano-pyridin-2-yloxy)ethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2*S*,5*R*)-1-*N*-(1,1-dimethyl-2-(quinolin-4-ylamino)ethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(2-(1,3-benzothiazol-2-ylamino)-1,1-dimethylethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(*N*-{1,1-dimethyl-2-((3-cyano-6-methylpyridin-2-yl)amino)ethyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

5 (2S,5R)-1-(*N*-(1,1-dimethyl-2-((5-(trifluoromethyl)pyridin-2-yl)oxy)ethyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(*N*-{1,1-dimethyl-2-((3-cyano-6-methylpyridin-2-yl)oxy)ethyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

10 (2S,5R)-1-{*N*-(1,1-dimethyl-2-(3-cyanopyridin-2-ylamino)ethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(*N*-(1,1-dimethyl-2-((4-(trifluoromethyl)pyrimidin-2-yl)amino)ethyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{*N*-(1,1-dimethyl-2-(5-methoxycarbonylpyridin-2-ylamino)ethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

15 (2S,5R)-1-{N-(2-(2-cyano-5-fluorophenoxy)-1,1-dimethylethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(*N*-(2-((3-chloro-5-(trifluoromethyl)pyridin-2-yl)amino)ethyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

20 (2S,5R)-1-{*N*-(1,1-dimethyl-2-(5-cyano-pyridin-2-ylamino)ethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(*N*-(2-(4-carboxy-anilino)-1,1-dimethylethyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5S)-5-methyl-1-{*N*-(2-(5-cyano-pyridin-2-ylamino)ethyl)glycyl}pyrrolidine-2-carbonitrile.

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23. The compound according to claim 1, wherein

R is cyano;

R₁ is selected from the group consisting of alkyl, alkenyl, and alkynyl; and

30 R₂ and R₃ taken together with the atoms they are attached form a mono or bicyclic heterocycle selected from the group consisting of 3-isoquinoline, 2-pyrrolidinyl, 2-quinolinyl, 2-tetrahydroquinolinyl, and 3-tetrahydroisoquinolinyl.

24. The compound according to claim 23, that is a member selected from the group consisting of

35 (2S,5R)-5-ethynyl-1-((3S)-1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl)pyrrolidine-2-carbonitrile;

(2S,5S)-4,4-difluoro-5-methyl-1-((5S)-5-methyl-L-prolyl)pyrrolidine-2-carbonitrile;

(2S,5S)-5-methyl-1-((3S)-1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl)pyrrolidine-2-

carbonitrile;

(2S,5S)-5-methyl-1-L-prolylpyrrolidine-2-carbonitrile;

(2S,5S)-5-methyl-1-((5S)-5-methyl-L-prolyl)pyrrolidine-2-carbonitrile.

- 5 25. A method of treating diabetes, comprising administration of a therapeutically effective amount of a compound of formula (I).
26. A method of treating type II diabetes, comprising administration of a therapeutically effective amount of a compound of formula (I).
- 10 27. A method of treating hyperglycemia, comprising administration of a therapeutically effective amount of a compound of formula (I).
28. A method of treating Syndrome X, comprising administration of a therapeutically effective amount of a compound of formula (I).
- 15 29. A method of treating hyperisulinemia, comprising administration of a therapeutically effective amount of a compound of formula (I).
- 20 30. A method of treating obesity, comprising administration of a therapeutically effective amount of a compound of formula (I).